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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * *   Welcome to STN International   * * * * * * * * *

 NEWS  1          Web Page for STN Seminar Schedule - N. America
 NEWS  2  DEC 01  ChemPort single article sales feature unavailable
 NEWS  3  FEB 02  Simultaneous left and right truncation (SLART) added
                  for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
 NEWS  4  FEB 02  GENBANK enhanced with SET PLURALS and SET SPELLING
 NEWS  5  FEB 06  Patent sequence location (PSL) data added to USGENE
 NEWS  6  FEB 10  COMPENDEX reloaded and enhanced
 NEWS  7  FEB 11  WTEXTILES reloaded and enhanced
 NEWS  8  FEB 19  New patent-examiner citations in 300,000 CA/CAplus
                  patent records provide insights into related prior
                  art
 NEWS  9  FEB 19  Increase the precision of your patent queries -- use
                  terms from the IPC Thesaurus, Version 2009.01
 NEWS 10  FEB 23  Several formats for image display and print options
                  discontinued in USPATFULL and USPAT2
 NEWS 11  FEB 23  MEDLINE now offers more precise author group fields
                  and 2009 MeSH terms
 NEWS 12  FEB 23  TOXCENTER updates mirror those of MEDLINE - more
                  precise author group fields and 2009 MeSH terms
 NEWS 13  FEB 23  Three million new patent records blast AEROSPACE into
                  STN patent clusters
 NEWS 14  FEB 25  USGENE enhanced with patent family and legal status
                  display data from INPADOCDB
 NEWS 15  MAR 06  INPADOCDB and INPAFAMDB enhanced with new display
                  formats
 NEWS 16  MAR 11  EPFULL backfile enhanced with additional full-text
                  applications and grants
 NEWS 17  MAR 11  ESBIOBASE reloaded and enhanced
 NEWS 18  MAR 20  CAS databases on STN enhanced with new super role
                  for nanomaterial substances
 NEWS 19  MAR 23  CA/CAplus enhanced with more than 250,000 patent
                  equivalents from China
 NEWS 20  MAR 30  IMSPATENTS reloaded and enhanced
 NEWS 21  APR 03  CAS coverage of exemplified prophetic substances
                  enhanced
 NEWS 22  APR 07  STN is raising the limits on saved answers
 NEWS 23  APR 24  CA/CAplus now has more comprehensive patent assignee
                  information
 NEWS 24  APR 26  USPATFULL and USPAT2 enhanced with patent
                  assignment/reassignment information
 NEWS 25  APR 28  CAS patent authority coverage expanded
 NEWS 26  APR 28  ENCOMPLIT/ENCOMPLIT2 search fields enhanced
 NEWS 27  APR 28  Limits doubled for structure searching in CAS
                  REGISTRY
 NEWS 28  MAY 08  STN Express, Version 8.4, now available
 NEWS 29  MAY 11  STN on the Web enhanced

```
NEWS 30  MAY 11  BEILSTEIN substance information now available on
                 STN Easy
NEWS 31  MAY 14  DGENE, PCTGEN and USGENE enhanced with increased
                 limits for exact sequence match searches and
                 introduction of free HIT display format
NEWS 32  MAY 15  INPADOCDB and INPAFAMDB enhanced with Chinese legal
                 status data
NEWS 33  MAY 28  CAS databases on STN enhanced with NANO super role in
                 records back to 1992

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
             AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

NEWS HOURS    STN Operating Hours Plus Help Desk Availability
NEWS LOGIN    Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that
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* * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:01:38 ON 29 MAY 2009

=> fil reg
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.22       0.22

FILE 'REGISTRY' ENTERED AT 12:01:55 ON 29 MAY 2009
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:   27 MAY 2009  HIGHEST RN 1149812-77-0
DICTIONARY FILE UPDATES:  27 MAY 2009  HIGHEST RN 1149812-77-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10576761.str
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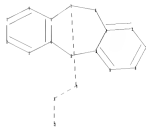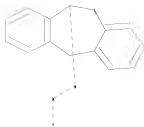
```
chain nodes :
18   19   21
ring nodes :
1   2   3   4   5   6   7   8   9   10   11   12   13   14   15
chain bonds :
7-19   18-19   18-21
ring bonds :
1-2   1-6   2-3   3-4   4-5   5-6   5-7   6-11   7-8   8-9   9-10   9-12   10-11   10-15   12-13
13-14   14-15
exact/norm bonds :
5-7   6-11   7-8   7-19   8-9   10-11   18-19   18-21
normalized bonds :
1-2   1-6   2-3   3-4   4-5   5-6   9-10   9-12   10-15   12-13   13-14   14-15
isolated ring systems :
containing 1 :


G1:C,O

Match level :
1:CLASS   2:CLASS   3:CLASS   4:CLASS   5:CLASS   6:CLASS   7:CLASS   8:CLASS   9:CLASS
10:CLASS   11:CLASS   12:CLASS   13:CLASS   14:CLASS   15:CLASS   18:CLASS   19:CLASS
21:CLASS
Generic attributes :
```

```
18:
Number of Carbon Atoms : 7 or more
Number of Hetero Atoms : 2 or more
Type of Ring System    : Polycyclic

Element Count :
Node 18: Limited
    N,N2
    O,O0
    S,S0
    C,C7




L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR
```



```
G1 C,O


Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 12:02:12 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     3218 TO ITERATE

 62.2% PROCESSED     2000 ITERATIONS                         50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        60958 TO    67762
PROJECTED ANSWERS:           15303 TO    18807

L2        50 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 12:02:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    63832 TO ITERATE
```

```
100.0% PROCESSED      63832 ITERATIONS                          16703 ANSWERS
SEARCH TIME: 00.00.01


L3        16703 SEA SSS FUL L1


=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10576761.str
```



```
chain nodes :
18  19  21
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  13  14  15
chain bonds :
7-19  18-19  18-21
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-11  7-8  8-9  9-10  9-12  10-11  10-15  12-13
13-14  14-15
exact/norm bonds :
5-7  6-11  7-8  7-19  8-9  10-11  18-19  18-21
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  9-10  9-12  10-15  12-13  13-14  14-15
isolated ring systems :
containing 1 :


G1:C,O
```

```
Match level :
1:CLASS   2:CLASS   3:CLASS   4:CLASS   5:CLASS   6:CLASS   7:CLASS   8:CLASS   9:CLASS
10:CLASS   11:CLASS   12:CLASS   13:CLASS   14:CLASS   15:CLASS   18:CLASS   19:CLASS
21:CLASS
Generic attributes :
18:
Number of Carbon Atoms : 7 or more
Number of Hetero Atoms : 2 or more
Type of Ring System    : Polycyclic

Element Count :
Node 18: Limited
    N,N2
    O,O0
    S,S0
    C,C7
```
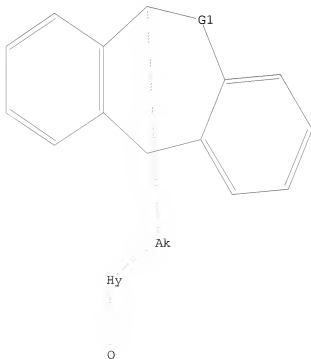
L4      STRUCTURE UPLOADED

=> d
L4 HAS NO ANSWERS
L4               STR
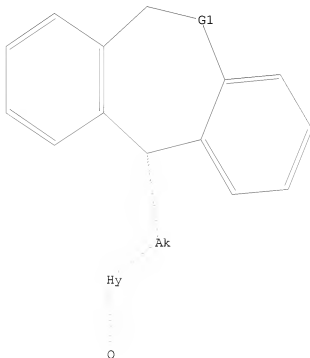


G1 C,O


Structure attributes must be viewed using STN Express query preparation.


=> s l4 subset=l3 full

```
FULL SUBSET SEARCH INITIATED 12:04:27 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -    14500 TO ITERATE

100.0% PROCESSED    14500 ITERATIONS                        146 ANSWERS
SEARCH TIME: 00.00.01

L5         146 SEA SUB=L3 SSS FUL L4

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10576761.str
```



```
chain nodes :
18  19  21
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  13  14  15
chain bonds :
7-19  18-19  18-21
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-11  7-8  8-9  9-10  9-12  10-11  10-15  12-13
13-14  14-15
exact/norm bonds :
5-7  6-11  7-8  7-19  8-9  10-11  18-19  18-21
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  9-10  9-12  10-15  12-13  13-14  14-15
isolated ring systems :
containing 1 :
```

```
G1:C,O

Match level :
1:CLASS   2:CLASS   3:CLASS   4:CLASS   5:CLASS   6:CLASS   7:CLASS   8:CLASS   9:CLASS
10:CLASS   11:CLASS   12:CLASS   13:CLASS   14:CLASS   15:CLASS   18:CLASS   19:CLASS
21:CLASS
Generic attributes :
18:
Number of Carbon Atoms : 7 or more
Number of Hetero Atoms : 2 or more
Type of Ring System    : Polycyclic

Element Count :
Node 18: Limited
     N,N2
     O,O0
     S,S0
     C,C7
```

```
L6      STRUCTURE UPLOADED

=> d
L6 HAS NO ANSWERS
L6              STR
```



```
G1 C,O
```

Structure attributes must be viewed using STN Express query preparation.

```
=> d his

     (FILE 'HOME' ENTERED AT 12:01:38 ON 29 MAY 2009)

     FILE 'REGISTRY' ENTERED AT 12:01:55 ON 29 MAY 2009
L1              STRUCTURE UPLOADED
L2          50 S L1
L3       16703 S L1 FULL
L4              STRUCTURE UPLOADED
L5         146 S L4 FULL SUB=L3
L6              STRUCTURE UPLOADED

=> s l6 subset=l3 full
FULL SUBSET SEARCH INITIATED 12:05:10 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -    14500 TO ITERATE

100.0% PROCESSED    14500 ITERATIONS                            0 ANSWERS
SEARCH TIME: 00.00.01

L7           0 SEA SUB=L3 SSS FUL L6

=> s l5 and caplus/lc
     66484516 CAPLUS/LC
L8         129 L5 AND CAPLUS/LC

=> s l5 not l8
L9          17 L5 NOT L8

=> d l9 1-17
```

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

Double bond geometry as shown.



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

Double bond geometry as shown.



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

Absolute stereochemistry.
Double bond geometry as shown.



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

RN   1026047-11-9  REGISTRY
ED   Entered STN:  06 Jun 2008
CN   2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-1-[(1R)-1-methyl-2-(1-piperazinyl)ethyl]- (CA INDEX NAME)
FS   STEREOSEARCH
MF   C29 H29 F2 N4 O2
SR   CA
SR   Other Sources
        Database: ChemSpider (ChemZoo, Inc.)
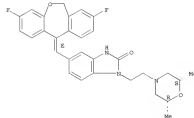
Absolute stereochemistry.
Double bond geometry as shown.
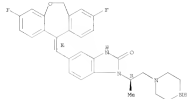
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

RN   860115-45-3  REGISTRY
ED   Entered STN:  15 Aug 2005
CN   2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-1-(3R)-3-pyrrolidinyl-  (CA INDEX NAME)
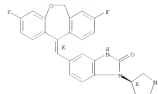FS   STEREOSEARCH
MF   C26 H21 F2 N3 O2
CI   COM
SR   CA

Absolute stereochemistry.
Double bond geometry as shown.

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

RN   860115-44-2  REGISTRY
ED   Entered STN:  15 Aug 2005
CN   2H-Benzimidazol-2-one, 1-(3-azetidinyl)-5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C25 H19 F2 N3 O2
CI   COM
SR   CA

Double bond geometry as shown.

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

RN   860115-43-1  REGISTRY
ED   Entered STN:  15 Aug 2005
CN   2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-1-(4-piperidinyl)-  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C27 H23 F2 N3 O2
CI   COM
SR   CA

Double bond geometry as shown.

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

RN   860115-42-0  REGISTRY
ED   Entered STN:  15 Aug 2005
CN   2H-Benzimidazol-2-one, 5-[(E)-(3-fluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-[(2R)-2-pyrrolidinylmethyl]-  (CA INDEX
     NAME)
FS   STEREOSEARCH
MF   C27 H24 F N3 O2
CI   COM
SR   CA

Absolute stereochemistry.
Double bond geometry as shown.

RN   860115-41-9  REGISTRY
ED   Entered STN:  15 Aug 2005
CN   2H-Benzimidazol-2-one, 5-[(E)-(3-fluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-[(2S)-2-pyrrolidinylmethyl]-  (CA INDEX
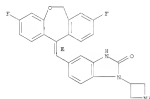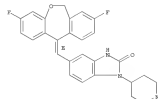     NAME)
FS   STEREOSEARCH
MF   C27 H24 F N3 O2
CI   COM
SR   CA

Absolute stereochemistry.
Double bond geometry as shown.

RN   860115-40-8  REGISTRY
ED   Entered STN:  15 Aug 2005
CN   2H-Benzimidazol-2-one,
     1-(3-azetidinyl)-5-[(E)-(3-fluorodibenz[b,e]oxepin-
     11(6H)-ylidene)methyl]-1,3-dihydro-  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C25 H20 F N3 O2
CI   COM
SR   CA

Double bond geometry as shown.
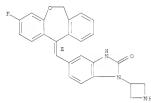
RN   860115-39-5  REGISTRY
ED   Entered STN:  15 Aug 2005
CN   2H-Benzimidazol-2-one, 5-[(E)-3-fluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-(3-pyrrolidinyl)-  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C26 H22 F N3 O2
CI   COM
SR   CA
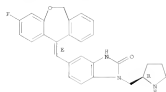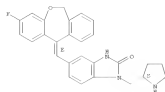
Double bond geometry as shown.

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

RN    969010-47-5  REGISTRY
ED    Entered STN:  12 Aug 2005
CN    2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
      ylidene)methyl]-1-[(3S)-3-ethyl-3-pyrrolidinyl]-1,3-dihydro-  (CA INDEX
      NAME)
FS    STEREOSEARCH
MF    C28 H25 F2 N3 O2
CI    COM
SR    CA

Absolute stereochemistry.
Double bond geometry as shown.



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

```
=> fil caplus
COST IN U.S. DOLLARS                                     SINCE FILE        TOTAL
                                                            ENTRY       SESSION
FULL ESTIMATED COST                                        320.80       321.02

FILE 'CAPLUS' ENTERED AT 12:10:44 ON 29 MAY 2009
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FILE COVERS 1907 - 29 May 2009  VOL 150 ISS 23
FILE LAST UPDATED: 28 May 2009  (20090528/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Feb 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Feb 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate

=> d his

     (FILE 'HOME' ENTERED AT 12:01:38 ON 29 MAY 2009)

     FILE 'REGISTRY' ENTERED AT 12:01:55 ON 29 MAY 2009
L1             STRUCTURE UPLOADED
L2          50 S L1
L3       16703 S L1 FULL
L4             STRUCTURE UPLOADED
L5         146 S L4 FULL SUB=L3
L6             STRUCTURE UPLOADED
L7           0 S L6 FULL SUB=L3
L8         129 S L5 AND CAPLUS/LC
L9          17 S L5 NOT L8

     FILE 'CAPLUS' ENTERED AT 12:10:44 ON 29 MAY 2009

=> s l8
L10          7 L8

=> d ibib abs hitstr 1-7
```

ACCESSION NUMBER:   2005:638871  CAPLUS
DOCUMENT NUMBER:    143:153374
TITLE:              Preparation of tricyclic steroid hormone nuclear
                    receptor modulators
INVENTOR(S):        Gavardinas, Konstantinos; Green, Jonathan Edward;
                    Jadhav, Prabhakar Kondaj; Matthews, Donald P.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE:             PCT Int. Appl., 87 pp.
                    CODEN: PIXXD2
DOCUMENT TYPE:      Patent
LANGUAGE:           English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

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PATENT NO.          KIND     DATE        APPLICATION NO.           DATE
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WO 2004506116:      A1       20050721    WO 2004-US38233           20041208
      W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
          CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
          GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
          LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
          NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
          TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
      RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
          AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
          EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
          RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
          MR, NE, SN, TD, TG
  AU 2004512293     A1       20050721    AU 2004-512293            20041208
  CA 2549053        A1       20050721    CA 2004-2549053           20041208
  EP 1697755Q       A1       20060906    EP 2004-811084            20041208
  EP 1697755G       R1       20090625
      I:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
          IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
  CN 1919171        A        20070221    CN 2004-80041853          20041208
  BR 2004016852     A        20070227    BR 2004-16852             20041208
  JP 2007515431     T7       20070614    JP 2006-545655            20041208
  AU 791611         T3       20090715    AT 2004-811084            20041208
  ES 2306396        T3       20081201    ES 2004-811084            20041208
  US 20070037709    A1       20070215    US 2006-576761            20060421
  MX 2006061603     A        20070130    MX 2006-7055              20060614
  IN 200610037557   A        20090629    IN 2006-0N3557            20060629
  NO 2006063329     A        20060914    NO 2006-3329              20060718
PRIORITY APPLN. INFO.:                  WO 2004-US38233           W 20041208

                                        WO 2003-531283P           P 20031218
OTHER SOURCE(S):    CASREACT 143:153374, MARPAT 143:153374
G1
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB   Title compds. I [Y = CH2, O; R1-2 = H, F; R3 = H-amino, E-heterocyclyl; Z
     = divalent alkyl, with some specific exceptions] are prepared  For
     instance,
     II is prepared from (E)-II-bromomethylene-3-fluoro-6,11-

---

RN   860009-95-6  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-(1-methyl-4-piperidinyl)-  (CA INDEX NAME)

Double bond geometry as shown.



RN   860009-96-7  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(3-fluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-(1-methyl-4-piperidinyl)-  (CA INDEX NAME)

Double bond geometry as shown.

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dihydrodibenzo[b,e]oxepine (prepn. given) and
11-[3,5-dimethyl-2-(morpholin-4-ylethyl)-5-(4,4,5,5-tetramethyl-
[1,3,2]dioxaborolan-2-yl)-3,3-dihydrobenzimidazol-2-one (prepn. given)
(dioxane, Na2CO3, [Ph3P]4Pd, 90-100°, 5 days).  II has R1 ≤
500 nM for the mineralocorticoid receptor and R1 ≤ 1,000 nM for the
glucocorticoid receptor.  I are useful for the treatment of congestive
heart disease, hypertension, rheumatoid arthritis or inflammation
IT   710744-06-2F 860009-94-5F 860009-95-6F
     860009-96-7F 860009-97-8F 860009-98-9F
     860009-99-0F 860010-02-8F 860010-03-9F
     860010-04-0F 860010-05-1F 860010-06-2F
     860010-07-3F 860010-08-4F 860010-09-5P
     860010-10-8F 860010-11-9F 860010-12-2F
     860010-11-7P 860010-13-1F 860010-14-2F
     860010-14-6P 860010-15-7P 860010-16-4P
     860010-17-9P 860010-19-1P 860010-21-5P
     860010-23-7P 860010-25-9P 860010-26-0P
     860010-27-1P 860010-28-2P 860010-29-3P
     860010-30-6P 860010-32-8P 860010-34-0P
     860010-36-2P 860010-38-4P 860010-40-8P
     860010-42-0P 860010-44-2P 860010-45-3P
     860010-46-4P 860010-48-6P 860010-50-0P
     860010-52-2P 860010-53-3P 860010-54-4P
     860010-55-5P 860010-56-6P 860010-57-7P
     860010-58-8P 860010-59-9P 860010-60-2P
     860010-61-3P 860010-62-4P 860010-63-5P
     860010-64-6P 860010-65-7P 860010-66-8P
RL:  PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
     (preparation of benzimidazolone-substituted tricyclic steroid hormone
     nuclear receptor modulators)
RN   710744-06-2  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(2,9-difluoro-10,11-dihydro-5H-
     dibenzo[a,d]cycloheptan-5-ylidene)methyl]-1,3-dihydro-1-[3-(4-
     morpholinyl)propyl]-  (CA INDEX NAME)



RN   860009-94-5  CAPLUS
CN   2H-Benzimidazol-2-one, 1-[1,1-dimethyl-2-(4-morpholinyl)ethyl]-5-[(E)-(3-
     fluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-  (CA INDEX
     NAME)

Double bond geometry as shown.

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RN   860009-97-8  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(3,8-difluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-(1-methyl-4-piperidinyl)-  (CA INDEX NAME)

Double bond geometry as shown.



RN   860009-99-0  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-[(3R)-1-ethyl-3-pyrrolidinyl]-  (CA INDEX
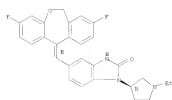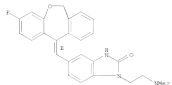     NAME)
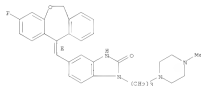
Absolute stereochemistry.
Double bond geometry as shown.

RN   860009-99-0  CAPLUS
CN   2H-Benzimidazol-2-one, 1-[2-(dimethylamino)ethyl]-5-[(E)-[3-
     fluorodibenz[b,e]oxepin-11(6H)-ylidene]methyl]-1,3-dihydro-  (CA INDEX
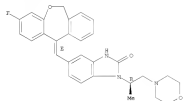     NAME)

Double bond geometry as shown.



RN   860010-00-6  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-[3-fluorodibenz[b,e]oxepin-11(6H)-
     ylidene]methyl]-1,3-dihydro-1-[3-(4-methyl-1-piperazinyl)propyl]-  (CA
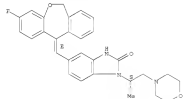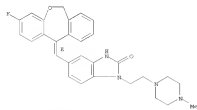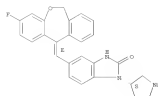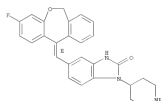     INDEX NAME)

Double bond geometry as shown.



RN   860010-01-1  CAPLUS

RN   860010-04-4  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[[(E)-[3-fluorodibenz[b,e]oxepin-11(6H)-
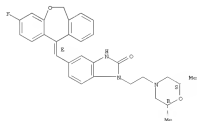     ylidene]methyl]-1-[(1S)-1-methyl-2-(4-morpholinyl)ethyl]-  (CA
     INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



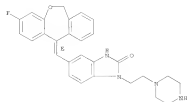RN   860010-05-5  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-[3-fluorodibenz[b,e]oxepin-11(6H)-
     ylidene]methyl]-1,3-dihydro-1-(4-piperidinyl)-, hydrochloride (1:1) (CA
     INDEX NAME)

Double bond geometry as shown.

CN   2H-Benzimidazol-2-one, 5-[(E)-[3-fluorodibenz[b,e]oxepin-11(6H)-
     ylidene]methyl]-1,3-dihydro-1-[2-(4-methyl-1-piperazinyl)ethyl]-  (CA
     INDEX NAME)

Double bond geometry as shown.



RN   860010-02-2  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-[3-fluorodibenz[b,e]oxepin-11(6H)-
     ylidene]methyl]-1,3-dihydro-1-(3S)-3-pyrrolidinyl-, hydrochloride (1:1)
     (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.
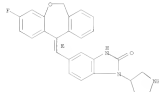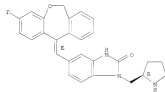


● HCl

RN   860010-03-3  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-[3-fluorodibenz[b,e]oxepin-11(6H)-
     ylidene]methyl]-1,3-dihydro-1-methyl-2-[(E)-methyl-2-(4-morpholinyl)ethyl]-
     (CA
     INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



● HCl

RN   860010-06-6  CAPLUS
CN   2H-Benzimidazol-2-one, 1-[2-[(2S,6R)-2,6-dimethyl-4-morpholinyl]ethyl]-5-
     [[(E)-[3-fluorodibenz[b,e]oxepin-11(6H)-ylidene]methyl]-1,3-dihydro-  (CA
     INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN   860010-07-7  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-[3-fluorodibenz[b,e]oxepin-11(6H)-
     ylidene]methyl]-1,3-dihydro-1-[2-(3-piperazinyl)ethyl]-  (CA INDEX NAME)
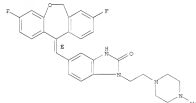
Double bond geometry as shown.

RN  960010-08-8  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3-fluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-1-[3-pyrrolidinyl]-, hydrochloride (1:1)  (CA INDEX NAME)
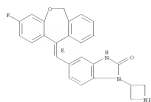
Double bond geometry as shown.

● HCl

RN  960010-09-9  CAPLUS
CN  2H-Benzimidazol-2-one, 1-[3-azetidinyl]-5-[(E)-(3-fluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-, hydrochloride (1:1)  (CA INDEX NAME)
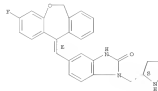
Double bond geometry as shown.

● HCl

RN  960010-10-2  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3-fluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-1-[(2S)-2-pyrrolidinylmethyl]-, hydrochloride (1:1)  (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

● HCl

RN  960010-11-3  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3-fluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-1-[(2R)-2-pyrrolidinylmethyl]-, hydrochloride (1:1)  (CA INDEX NAME)
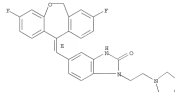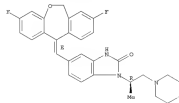
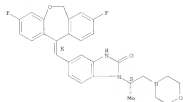Absolute stereochemistry.
Double bond geometry as shown.

● HCl

RN  960010-12-4  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-1-[2-(4-methyl-1-piperazinyl)ethyl]-  (CA INDEX NAME)

Double bond geometry as shown.

RN  960010-13-5  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,2-dihydro-1-[2-(2-pyrrolidinyl)ethyl]-  (CA INDEX NAME)

Double bond geometry as shown.

RN  960010-14-6  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-1-[(1R)-1-methyl-2-(4-morpholinyl)ethyl]-  (CA INDEX NAME)

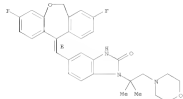Absolute stereochemistry.
Double bond geometry as shown.

RN  960010-15-7  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-1-[(1S)-1-methyl-2-(4-morpholinyl)ethyl]-  (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN  960010-16-8  CAPLUS
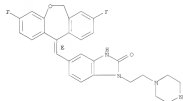CN  2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-
    ylidene)methyl]-1-[1,1-dimethyl-2-(4-morpholinyl)ethyl]-1,3-dihydro-  (CA
    INDEX NAME)

Double bond geometry as shown.



RN  960010-17-9  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-
    ylidene)methyl]-1-[2-[(2S,6R)-2,6-dimethyl-4-morpholinyl]-1,1-
    dimethylethyl]-1,3-dihydro-  (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

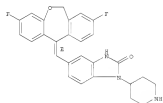RN  960010-19-1  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-
    ylidene)methyl]-1,3-dihydro-1-[3-(4-morpholinyl)propyl]-  (CA INDEX NAME)

Double bond geometry as shown.



RN  960010-21-5  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-
    ylidene)methyl]-1,3-dihydro-1-[2-(1-piperazinyl)ethyl]-  (CA INDEX NAME)

Double bond geometry as shown.

RN  960010-23-7  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-
    ylidene)methyl]-1,3-dihydro-1-(4-piperidinyl)-, hydrochloride (1:1)  (CA
    INDEX NAME)

Double bond geometry as shown.



● HCl

RN  960010-25-9  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-
    ylidene)methyl]-1,3-dihydro-1-[3R)-3-pyrrolidinyl-  (CA INDEX NAME)
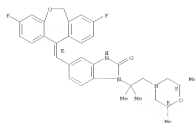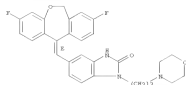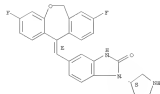
Absolute stereochemistry.
Double bond geometry as shown.

RN  960010-26-0  CAPLUS
CN  2H-Benzimidazol-2-one, 1-(3-azetidinyl)-5-[(E)-(3,8-
    difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-,
    hydrochloride (1:1)  (CA INDEX NAME)

Double bond geometry as shown.



● HCl

RN  960010-27-1  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-
    ylidene)methyl]-1,3-dihydro-1-[(3R)-3-pyrrolidinyl-, hydrochloride (1:1)
    (CA INDEX NAME)
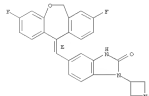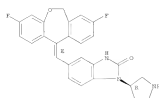
Absolute stereochemistry.
Double bond geometry as shown.

● HCl

RN   860010-29-2  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-[(3R)-1-methyl-3-pyrrolidinyl]-  (CA INDEX
     NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN   860010-29-3  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(3,8-difluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1-[(3S)-1-ethyl-3-pyrrolidinyl]-1,3-dihydro-  (CA INDEX
     NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN   860010-30-6  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-[4-methyl-1-piperazinyl)ethyl]-  (CA
     INDEX NAME)

Double bond geometry as shown.



RN   860010-32-8  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-[2-(1-pyrrolidinyl)ethyl]-  (CA INDEX NAME)

Double bond geometry as shown.

RN   860010-34-0  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-(4-piperidinyl)-  (CA INDEX NAME)

Double bond geometry as shown.



RN   860010-36-2  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-[3R)-3-pyrrolidinyl]-  (CA INDEX NAME)
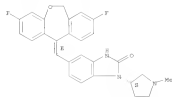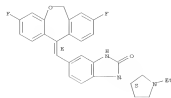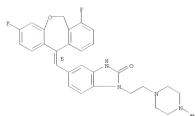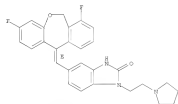
Absolute stereochemistry.
Double bond geometry as shown.

RN   860010-38-4  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-[(1R)-1-methyl-2-(4-morpholinyl)ethyl]-
     (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN   860010-40-8  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-[(1S)-1-methyl-2-(4-morpholinyl)ethyl]-
     (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN  860010-42-0  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
    ylidene)methyl]-1-[3,5-dimethyl]-2-(4-morpholinyl)ethyl]-1,3-dihydro-  (CA
    INDEX NAME)

Double bond geometry as shown.



RN  860010-44-2  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
    ylidene)methyl]-1-[2-[(2S,6R)-2,6-dimethyl-4-morpholinyl]ethyl]-1,3-
    dihydro-  (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN  860010-45-3  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
    ylidene)methyl]-1,7-dihydro-3-[3-(4-morpholinyl)propyl]-  (CA INDEX NAME)
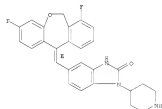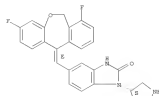
Double bond geometry as shown.



RN  860010-46-4  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
    ylidene)methyl]-1,3-dihydro-3-[3-(1-piperazinyl)propyl]-  (CA INDEX NAME)
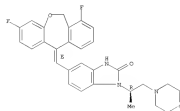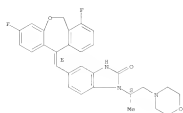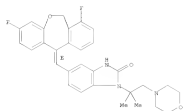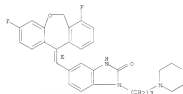
Double bond geometry as shown.

RN  860010-48-6  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
    ylidene)methyl]-1-[(3S)-1-ethyl-3-pyrrolidinyl]-1,3-dihydro-, acetate
    (1:1)  (CA INDEX NAME)

CM  1

CRN  860010-47-5
CMF  C28 H25 F2 N3 O2

Absolute stereochemistry.
Double bond geometry as shown.



CM  2

CRN  64-19-7
CMF  C2 H4 O2



RN  860010-50-0  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-

    ylidene)methyl]-1,3-dihydro-1-[(3S)-1-methyl-3-pyrrolidinyl]-, acetate
    (1:1)  (CA INDEX NAME)

CM  1

CRN  860010-49-7
CMF  C27 H23 F2 N3 O2

Absolute stereochemistry.
Double bond geometry as shown.
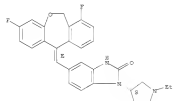


CM  2

CRN  64-19-7
CMF  C2 H4 O2



RN  860010-52-2  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
    ylidene)methyl]-1-[(3R)-1-ethyl-3-pyrrolidinyl]-1,3-dihydro-, acetate
    (1:1)  (CA INDEX NAME)

CM  1

CRN  860010-51-1
CMF  C28 H25 F2 N3 O2

Absolute stereochemistry.
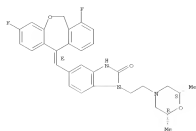Double bond geometry as shown.
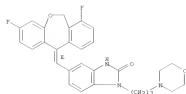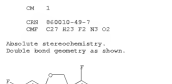
CM 2
CMN 64-29-7
CMF C2 H4 O2

HO-C-CH3

RN 860010-53-3 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-1-[(7R)-1-methyl-3-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 860010-54-4 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(2,8-difluoro-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1,3-dihydro-1-[3-(1-piperazinyl)propyl]- (CA INDEX NAME)

RN 860010-55-5 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(2,8-difluoro-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1,3-dihydro-1-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

RN 860010-56-6 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(2,8-difluoro-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1-[2-(dimethylamino)ethyl]-1,3-dihydro- (CA INDEX NAME)

RN 860010-57-7 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(2,8-difluoro-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1,3-dihydro-1-[2-(4-methyl-1-piperazinyl)ethyl]- (CA INDEX NAME)

RN 860010-58-8 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(2,8-difluoro-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1,3-dihydro-1-[(7S)-1-methyl-3-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 860010-59-9 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(2,8-difluoro-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1-[(7S)-1-ethyl-3-pyrrolidinyl]-1,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

RN 860010-60-2 CAPLUS

CN 2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro- (CA INDEX NAME)

Double bond geometry as shown.

RN 860010-61-3 CAPLUS
CN 2H-Benzimidazol-2-one, 1-(1-ethyl-4-piperidinyl)-5-[(E)-(3-fluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro- (CA INDEX NAME)

Double bond geometry as shown.

RN 860010-62-4 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1-(1-ethyl-4-piperidinyl)-1,3-dihydro- (CA INDEX NAME)
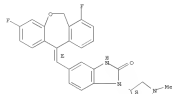
Double bond geometry as shown.

RN 969010-63-5 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(2,8-difluoro-10,11-dihydro-5H-
dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1-[1-ethyl-4-piperidinyl]-1,3-
dihydro- (CA INDEX NAME)

RN 969010-64-6 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(E)-(3,7-difluorodibenz[b,e]oxepin-11(6H)-
ylidene)methyl]-1,3-dihydro-1-[4-piperidinyl]-, hydrochloride (1:1) (CA
INDEX NAME)

Double bond geometry as shown.

● HCl

RN 969010-65-7 CAPLUS
CN 2H-Benzimidazol-2-one, 1-[(2R)-1-ethyl-3-pyrrolidinyl]-5-[(E)-(3-
fluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro- (CA INDEX
NAME)

Absolute stereochemistry.
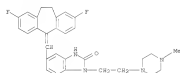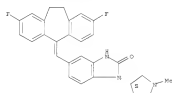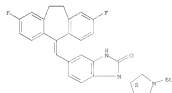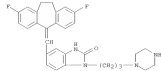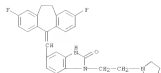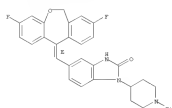Double bond geometry as shown.

RN 969010-66-8 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(E)-(3-fluorodibenz[b,e]oxepin-11(6H)-
ylidene)methyl]-1,3-dihydro-1-[(3R)-1-methyl-3-pyrrolidinyl]- (CA INDEX
NAME)

Absolute stereochemistry.
Double bond geometry as shown.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004028847 | A2 | 20040424 | WO 2003-US16213 | 20030613 |
| WO 2004028847 | A3 | 20040910 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, | | | |
| | CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, | | | |
| | GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, | | | |
| | LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, | | | |
| | PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, | | | |
| | TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| EM: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, | | | |
| | KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, | | | |
| | FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, | | | |
| | BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2499276 | A1 | 20040424 | CA 2003-2499276 | 20030613 |
| AU 2003302220 | A1 | 20040630 | AU 2003-302220 | 20030613 |
| AU 2003302220 | B2 | 20090326 | | |
| BR 2003012095 | A | 20050329 | BR 2003-12095 | 20030613 |
| EP 1519915 | A2 | 20050406 | EP 2003-810038 | 20030613 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, ML, SE, MC, PT, | | | |
| | IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| CN 1665780 | A | 20050907 | CN 2003-815098 | 20030613 |
| CN 1331848 | C | 20070815 | | |
| JP 2005539088 | T | 20051222 | JP 2004-559025 | 20030613 |
| CN 101161641 | A | 20080416 | CN 2007-10112172 | 20030613 |
| US 2006063759 | A1 | 20060323 | US 2004-517010 | 20040605 |
| US 7411072 | B2 | 20080812 | | |
| IN 2004KN01910 | A | 20080126 | IN 2004-MN1910 | 20041213 |
| MX 2004012998 | A | 20050516 | MX 2004-12998 | 20041217 |
| US 2006010297 | A | 20060222 | ZA 2004-10297 | 20041221 |
| US 2005000797 | A | 20050304 | US 2005-397 | 20050125 |
| PRIORITY APPLN. INFO.: | | | US 2002-391992 P | 20020626 |

| | | | CN 2003-815098 | A3 20030613 |
|---|---|---|---|---|
| | | | WO 2003-US16213 | W 20030613 |

OTHER SOURCE(S): MARPAT 141:71360
GI

AB   Dibenzosuberone derivs., such as I [X = CH2; R, R1 = H, OH, CN, halogen,
     alkoxy, sulfonylamino, amino, etc.; R2 = aryl, heteroaryl; R3 = H,
alkyl],
     and heterocyclic analogs thereof, such as I [X = O, S, NR, NMe, etc.],
     were prepared for therapeutic use in the treatment of pathol. disorders
     susceptible to steroid hormone nuclear receptor modulation. These
compds.
     are claimed for use in treatment of disorders, such as Conn's Syndrome,
     primary and secondary hyperaldosteronism, increased sodium retention,
     increased magnesium and potassium excretion (diuresis), increased water
     retention, hypertension (isolated systolic and combined
     systolic/diastolic), arrhythmia, myocardial fibrosis, myocardial
     infarction, Bartter's Syndrome, disorders associated with excess
     catecholamine levels, diastolic and systolic. congestive heart failure
     (CHF), psychoses, cognitive disorders, memory disturbances, depression,
     bipolar disorder, anxiety disorders, personality disorders, breast
cancer,
     peripheral vascular disease, diabetic nephropathy, cirrhosis with edema
     and ascites, esophageal varicies, Addison's Disease, muscle weakness,
     increased melan in pigmentation of the skin, weight loss, hypotension,
     hypoglycemia, Cushing's Syndrome, obesity, hypertension, glucose
     intolerance, hyperglycemia, diabetes mellitus, osteoporosis, polyuria,
     polydipsia, inflammation, rheumatoid arthritis, asthma, or chronic
     obstructive pulmonary diseases,. Diastolic or systolic congestive heart
     failure, autoimmune disorders, tissue rejection associated with organ
     transplant, malignancies such as leukemias and lymphomas, acute adrenal
     insufficiency, congenital adrenal hyperplasia, rheumatic fever,
     polyarteritis nodosa, granulomatous polyarteritis, inhibition of myeloid
     cell lines, immune proliferation/apoptosis, HPA axis suppression and
     regulation, hypercortisolemia, modulation of the Th1/Th2 cytokine
balance,
     chronic kidney disease, stroke and spinal cord injury, hypercalcemia,
     hyperglycemia, acute adrenal insufficiency, chronic primary adrenal
     insufficiency, secondary adrenal insufficiency, congenital adrenal
     hyperplasia, cerebral edema, thrombocytopenia, and Little's syndrome,
     systemic inflammation, inflammatory bowel disease, systemic lupus
     erythematosus, discoid lupus erythematosus, polyarritis nodosa, Wegener's
     granulomatous, psant cell arthritis, rheumatoid arthritis,
     osteoarthritis, hay fever, allergic rhinitis, contact dermatitis, atopic
     dermatitis, exfoliative dermatitis, urticaria, angioneurotic edema,
     chronic obstructive pulmonary disease, asthma, tendonitis,. Bursitis,
     Crohn's disease, ulcerative colitis, autoimmune chronic active hepatitis,
     hepatitis, cirrhosis, inflammatory scalp alopecia, panniculitis,
     psoriasis, inflamed cysts, pyoderma gangrenosum, pemphigus vulgaris,

bullous pemphigoid, dermatomyositis, eosinophilic fasciitis, relapsing
     polychondritis, inflammatory vasculitis, sarcoidosis, Sweet's disease,
     type 1 reactive leprosy, capillary hemangiomas, lichen planus,, erythema
     nodorum, acne, hirsutism, toxic epidermal necrolysis, erythema multiform,
     cutaneous T-cell lymphoma, emphysema, Alzheimer's Disease, and multipus
     sclerosis. Thus, dibenzosuberone deriv. II (R = NHMe) was prepd. with
40%
     yield via reaction of the corresponding sulfonyl chloride II (R = Cl)
with
     MeNH2 in THF. The prepd. dibenzosuberone derivs. and analogs were
assayed
     for mineralocorticoid and glucocorticoid receptor binding.

TT   710343-98-3  710344-04-0P  710344-05-1P
     710344-06-2P 710344-17-5P  710344-19-7P
     710344-17-5P 710344-18-6P  710344-19-7P
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     710346-45-9P 710346-48-4P  710346-53-9P
     710346-44-0P 710346-45-7P  710346-48-2P
EL   PAC  (Pharmacological activity); UPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
     (preparation of derivs. and heterocyclic analogs of dibenzosuberone
for use
     in pharmaceutical compns. as steroid hormone nuclear receptor
     modulators)

RN   710343-98-3  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[[10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-
     ylidene]methyl]-1,3-dihydro-   (CA INDEX NAME)



RN   710344-04-0  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[[2,8-difluoro-10,11-dihydro-5H-
     dibenzo[a,d]cyclohepten-5-ylidene]methyl]-1,3-dihydro-1-[2-(4-
     morpholinyl)ethyl]-   (CA INDEX NAME)
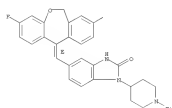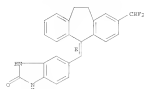
RN   710344-05-1  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[[2,8-difluoro-10,11-dihydro-5H-
     dibenzo[a,d]cyclohepten-5-ylidene]methyl]-1,3-dihydro-   (CA INDEX NAME)



RN   710344-06-2  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[[2,8-difluoro-10,11-dihydro-5H-
     dibenzo[a,d]cyclohepten-5-ylidene]methyl]-1,3-dihydro-1-[3-(4-
     morpholinyl)propyl]-   (CA INDEX NAME)



RN   710344-17-5  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-[2-fluoro-10,11-dihydro-5H-
     dibenzo[a,d]cyclohepten-5-ylidene]methyl]-1,3-dihydro-   (CA INDEX NAME)

Double bond geometry as shown.



RN   710344-14-2  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-[2-fluoro-10,11-dihydro-5H-
     dibenzo[a,d]cyclohepten-5-ylidene]methyl]-1,3-dihydro-   (CA INDEX NAME)
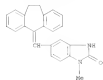
Double bond geometry as shown.



RN   710344-17-5  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-[2-(difluoromethyl)-10,11-dihydro-5H-
     dibenzo[a,d]cyclohepten-5-ylidene]methyl]-1,3-dihydro-   (CA INDEX NAME)

Double bond geometry as shown.



RN   710344-18-6  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-[2-(difluoromethyl)-10,11-dihydro-5H-
     dibenzo[a,d]cyclohepten-5-ylidene]methyl]-1,3-dihydro-   (CA INDEX NAME)
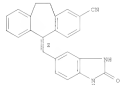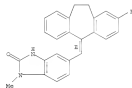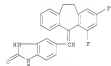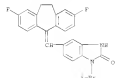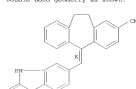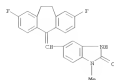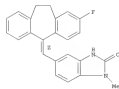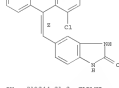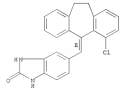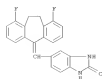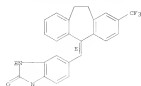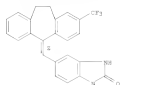
Double bond geometry as shown.



RN   710744-19-7  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1,3-dihydro-1-methyl-  [CA INDEX NAME]



RN   710744-20-0  CAPLUS
CN   5H-Dibenzo[a,d]cycloheptene-2-carbonitrile,
     5-[(2,3-dihydro-3-oxo-1H-benzimidazol-5-yl)methylene]-10,11-dihydro-,
     (5Z)-  [CA INDEX NAME]

Double bond geometry as shown.



RN   710744-21-1  CAPLUS
CN   5H-Dibenzo[a,d]cycloheptene-2-carbonitrile,
     5-[(2,3-dihydro-2-oxo-1H-benzimidazol-5-yl)methylene]-10,11-dihydro-,
     (5Z)-  [CA INDEX NAME]

Double bond geometry as shown.



RN   710744-28-8  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(2,6-difluoro-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1,3-dihydro-1-methyl-  [CA INDEX NAME]

Double bond geometry as shown.



RN   710744-29-9  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(2,8-difluoro-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1,3-dihydro-1-(1-methylethyl)-  [CA INDEX NAME]



RN   710744-30-2  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(4-chloro-10,11-dihydro-5H-

Double bond geometry as shown.



RN   710744-24-4  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(2,8-difluoro-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1,3-dihydro-1-methyl-  [CA INDEX NAME]



RN   710744-26-6  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(2-fluoro-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1,3-dihydro-1-methyl-  [CA INDEX NAME]

Double bond geometry as shown.



RN   710744-27-7  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(2-fluoro-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1,3-dihydro-1-methyl-  [CA INDEX NAME]

Double bond geometry as shown.

dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1,3-dihydro-  [CA INDEX NAME]

Double bond geometry as shown.



RN   710744-31-3  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(4-chloro-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1,3-dihydro-  [CA INDEX NAME]

Double bond geometry as shown.



RN   710744-35-7  CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(1,9-difluoro-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)methyl]-1,3-dihydro-  [CA INDEX NAME]



RN   710744-37-9  CAPLUS

CN  2H-Benzimidazol-2-one, 5-[8Z)-[10,11-dihydro-2-(trifluoromethyl)-5H-dibenzo[a,d]cyclohepten-5-ylidene]methyl]-1,3-dihydro-  (CA INDEX NAME)

Double bond geometry as shown.



RN  710744-78-2  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(8)-[10,11-dihydro-2-(trifluoromethyl)-5H-dibenzo[a,d]cyclohepten-5-ylidene]methyl]-1,3-dihydro-  (CA INDEX NAME)

Double bond geometry as shown.



RN  710745-76-9  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(3-fluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-1-[2-(4-morpholinyl)ethyl]-  (CA INDEX NAME)



RN  710745-77-0  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(3-fluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-1-[3-(4-morpholinyl)propyl]-  (CA INDEX NAME)

RN  710745-78-1  CAPLUS
CN  2H-Benzimidazol-2-one, 1-cyclopropyl-5-[(3-fluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-  (CA INDEX NAME)



RN  710745-79-2  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(3-fluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-  (CA INDEX NAME)



RN  710745-80-5  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(3-fluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-1-(1-methylethyl)-  (CA INDEX NAME)

RN  710745-81-6  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(3,8-difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-  (CA INDEX NAME)



RN  710745-82-7  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(3-chlorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-  (CA INDEX NAME)



RN  710745-83-8  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(3,7-difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-1-[2-(4-morpholinyl)ethyl]-  (CA INDEX NAME)

RN  710745-84-9  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(3,8-difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-  (CA INDEX NAME)



RN  710745-85-0  CAPLUS
CN  2H-Benzimidazol-2-one, 5-[(3,8-difluorodibenz[b,e]oxepin-11(6H)-ylidene)methyl]-1,3-dihydro-1-[2-(4-morpholinyl)ethyl]-  (CA INDEX NAME)



RN  710745-93-0  CAPLUS
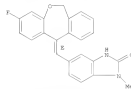CN  2H-Benzimidazol-2-one, 5-[dibenz[b,e]oxepin-11(6H)-ylidenemethyl]-1,3-dihydro-  (CA INDEX NAME)
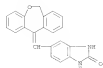
RN   710346-02-1   CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(3-fluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-methyl-   (CA INDEX NAME)
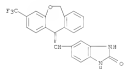
Double bond geometry as shown.

RN   710346-01-3   CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(3-fluorodibenz[b,e]oxepin-11(6H)-
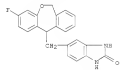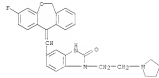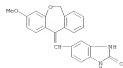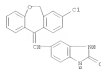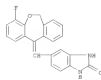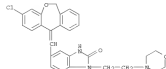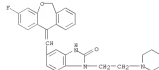     ylidene)methyl]-1,3-dihydro-1-methyl-   (CA INDEX NAME)
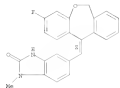
Double bond geometry as shown.

RN   710346-04-6   CAPLUS
CN   2H-Benzimidazol-2-one, 1,3-dihydro-5-[(3-methoxydibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-   (CA INDEX NAME)

RN   710346-06-8   CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(8-chlorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-   (CA INDEX NAME)

RN   710346-07-9   CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(4-fluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-   (CA INDEX NAME)

RN   710346-08-0   CAPLUS
CN   2H-Benzimidazol-2-one, 1,3-dihydro-5-[[3-
     (trifluoromethyl)dibenz[b,e]oxepin-11(6H)-ylidene]methyl]-   (CA INDEX
     NAME)

RN   710346-09-1   CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(3-fluoro-6,11-dihydrodibenz[b,e]oxepin-11-
     yl)methyl]-1,3-dihydro-   (CA INDEX NAME)

RN   710346-10-4   CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(3-fluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-[2-(2-pyrrolidinyl)ethyl]-   (CA INDEX NAME)

RN   710346-11-5   CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(3-chlorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-[2-(4-morpholinyl)ethyl]-   (CA INDEX NAME)

RN   710346-12-6   CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(3-fluorodibenz[b,e]oxepin-11(6H)-
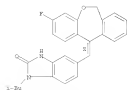     ylidene)methyl]-1,3-dihydro-1-[2-(1-piperidinyl)ethyl]-   (CA INDEX NAME)

RN   710346-63-7   CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(3-fluorodibenz[b,e]oxepin-11(6H)-
     ylidene)methyl]-1,3-dihydro-1-(2-methylpropyl)-   (CA INDEX NAME)
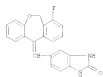
Double bond geometry as shown.

RN   710346-64-8   CAPLUS
CN   2H-Benzimidazol-2-one, 5-[(E)-(3-fluorodibenz[b,e]oxepin-11(6H)-
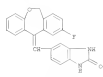     ylidene)methyl]-1,3-dihydro-1-(2-methylpropyl)-   (CA INDEX NAME)

Double bond geometry as shown.

1-Bu
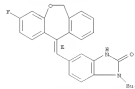
RN 710346-65-9 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[[7-fluorodibenz[b,e]oxepin-11(6H)-
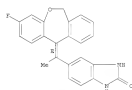ylidene)methyl]-1,3-dihydro- (CA INDEX NAME)



RN 710346-66-0 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(9-fluorodibenz[b,e]oxepin-11(6H)-
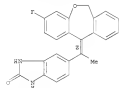ylidene)methyl]-1,3-dihydro- (CA INDEX NAME)



RN 710346-67-1 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(E)-(9-chlorodibenz[b,e]oxepin-11(6H)-
ylidene)-1,3-dihydro- (CA INDEX NAME)

Double bond geometry as shown.

RN 710346-68-2 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(Z)-(9-chlorodibenz[b,e]oxepin-11(6H)-
ylidene)methyl]-1,3-dihydro- (CA INDEX NAME)
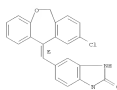
Double bond geometry as shown.



RN 710346-69-3 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[9-fluorodibenz[b,e]oxepin-11(6H)-
ylidene)methyl]-1,3-dihydro-1,3-dimethyl- (CA INDEX NAME)



RN 710347-00-1 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(5S)-1-(7-fluorodibenz[b,e]oxepin-11(6H)-
ylidene)ethyl]-1,3-dihydro- (CA INDEX NAME)

Double bond geometry as shown.



RN 710347-81-2 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[(5S)-1-(7-fluorodibenz[b,e]oxepin-11(6H)-
ylidene)ethyl]-1,3-dihydro- (CA INDEX NAME)

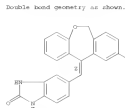Double bond geometry as shown.



REFERENCE COUNT:        7        THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
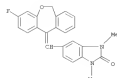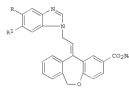                                 RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

I

AB  The nonprostanoid thromboxane A2 (TXA2) receptor antagonists I (R = R1 =
    Me; R = H, R1 = MeO) were synthesized on the gram scale from the
    corresponding Me 11-oxodihydrodibenzoxepincarboxylate. The CO group at
    C(11) was converted via a formylmethylene into a 1-azaiene moiety by
    reaction with a 2-aminoformanilide derivative  Stereo- and regioselective
    elaboration of the enyne. Imidazoles was achieved through a sequence of
    the transformation of E,E-1-azadiene intermediates to E isomers under
    acidic conditions followed by cyclization to imidazoles.
IT  174074-44-3P
    KL. IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
    (Preparation)
    (preparation of dibenzoxepin deriv. as thromboxane A2 receptor
    antagonist)
RN  174074-44-3  CAPLUS
CN  Dibenz[b,e]oxepin-2-carboxylic acid,
    6,11-dihydro-11-[2-(6-methoxy-1H-benzimidazol-1-yl)ethylidene]-, sodium
    salt, (E)- (9CI)  (CA INDEX NAME)
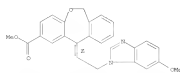
Double bond geometry as shown.

● Na

ACCESSION NUMBER:    1992:550936  CAPLUS
DOCUMENT NUMBER:     117:150936
ORIGINAL REFERENCE NO.: 117:26149a,26152a
TITLE:               Non-prostanoid thromboxane A2 receptor antagonists
                     with a dibenzoxepin ring system  2
AUTHOR(S):           Ohshima, Etsuo; Takami, Hitoshi; Sato, Hideyuki;
                     Mohri, Shinichiro; Obase, Hiroyuki; Miki, Ichiro;
                     Ishii, Akio; Shirakura, Shiro; Karasawa, Akira; Nabo,
                     Karuhiro
CORPORATE SOURCE:    Pharm. Res. Lab., Kyowa Hakko Kogyo Co., Ltd.,
                     Nagaizumi, 411, Japan
SOURCE:              Journal of Medicinal Chemistry (1992), 35(18),
3402-13
                     CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE:       Journal
LANGUAGE:            English
GI



AB   A series of 11-[2-(1-benzimidazolylethylidene]-6,11-
     dihydrodibenz[b,e]oxepin-2-carboxylic acid deriv. and related compds.
     were synthesized and found to be potent TXA2/PGH2 receptor antagonists.
     Each compound synthesized was tested for its ability to displace
[3H]U-46619
     binding from guinea pig platelet TXA2/PGH2 receptors.  Structure-activity
     relationship studies revealed that the following key elements were
     required for enhanced activities: (1) an
     (E)-2-(1-benzimidazolyl)ethylidene side chain in the 11-position of the
     dibenzoxepin ring system and (2) a carboxyl group in the 2-position of
the
     dibenzoxepin ring system.  The studies also indicated that the TXA2/PGH2
     receptor binding affinities of this series of compds. in guinea pig
     platelet were poorly correlated than those in human platelet.
     Introduction of substituent(s) to the benzimidazole moiety was effective
     and sodium (E)-11-[2-(5,6-dimethyl-1-benzimidazolyl)ethylidene]-6,11-
     dihydrodibenz[b,e]oxepin-2-carboxylate monohydrate (1) recorded the
     highest affinity for human platelet TXA2/PGH2 receptor with a Ki value of
     1.2 ± 0.14 nM.  It demonstrated potent inhibitory effects on
     U-46619-induced guinea pig platelet aggregation (in vitro and ex vivo)
and
     human platelet aggregation (in vitro).  Compound 1 is a novel, orally
     active, and specific TXA2/PGH2 receptor antagonist with neither TXA2/PGH2
     receptor agonistic nor TXA2 synthase inhibitory effects.
IT   127165-73-5P 127165-94-0P 127165-96-2P
     127167-37-7P 142535-80-6P 142535-85-1P
     KL: SPN (Synthetic preparation); PREP (Preparation
         (preparation of)

RN   127165-73-5  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     6,11-dihydro-11-[2-(3-methoxy-1H-benzimidazol-1-yl)ethylidene]-, methyl
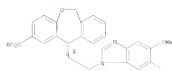     ester, (E)- (9CI)  (CA INDEX NAME)

Double bond geometry as shown.



RN   127165-94-0  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     11-[2-(5,6-dimethyl-1H-benzimidazol-1-yl)ethylidene]-6,11-dihydro-,
     methyl ester, (E)- (9CI)  (CA INDEX NAME)

Double bond geometry as shown.



RN   127165-96-2  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     11-[2-(5,6-dimethoxy-1H-benzimidazol-1-yl)ethylidene]-6,11-dihydro-,
     methyl ester, (E)- (9CI)  (CA INDEX NAME)

Double bond geometry as shown.



RN   127167-37-7  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     11-[2-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)ethylidene]-6,11-dihydro-,

     methyl ester, (E)- (9CI)  (CA INDEX NAME)

Double bond geometry as shown.



RN   142535-80-6  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     6,11-dihydro-11-[2-(4-hydroxy-1H-benzimidazol-1-yl)ethylidene]-, methyl
     ester, (E)- (9CI)  (CA INDEX NAME)

Double bond geometry as shown.



RN   142535-85-1  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     11-[2-(4,7-dimethyl-1H-benzimidazol-1-yl)ethylidene]-6,11-dihydro-,
     methyl ester, (E)- (9CI)  (CA INDEX NAME)

Double bond geometry as shown.



IT   127165-74-6P 127166-32-9P 127166-34-1P
     127166-49-9P 127166-50-1P 127166-51-2P
     127167-43-5P 142535-63-5P
     KL: SPN (Synthetic preparation); PREP (Preparation
         (preparation of, as thromboxane receptor antagonist)

RN   127165-74-6  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     6,11-dihydro-11-[2-[6-methoxy-1H-benzimidazol-1-yl]ethylidene]-, methyl
     ester, (E)- (9CI)  (CA INDEX NAME)

Double bond geometry as shown.



RN   127166-73-9  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     6,11-dihydro-11-[2-[5-methoxy-1H-benzimidazol-1-yl]ethylidene]-, (E)-
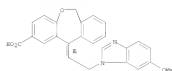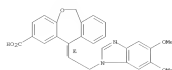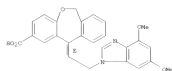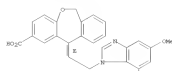     (9CI)  (CA INDEX NAME)

Double bond geometry as shown.



RN   127166-74-1  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     6,11-dihydro-11-[2-[6-methoxy-1H-benzimidazol-1-yl]ethylidene]-, (E)-
     (9CI)  (CA INDEX NAME)

Double bond geometry as shown.



RN   127166-49-9  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     6,11-dihydro-11-[2-(1H-benzimidazol-1-yl)ethylidene]-6,11-dihydro-, (E)-
     (9CI)  (CA INDEX NAME)

Double bond geometry as shown.



RN   142535-03-5  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     6,11-dihydro-11-[2-(1H-benzimidazol-1-yl)ethylidene]-, (E)-
     (9CI)  (CA INDEX NAME)

Double bond geometry as shown.

Double bond geometry as shown.



RN   127166-50-2  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     11-[2-[4,6-dimethoxy-1H-benzimidazol-1-yl]ethylidene]-6,11-dihydro-, (E)-
     (9CI)  (CA INDEX NAME)

Double bond geometry as shown.



RN   127166-51-2  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     11-[2-[5,7-dimethoxy-1H-benzimidazol-1-yl]ethylidene]-6,11-dihydro-, (E)-
     (9CI)  (CA INDEX NAME)

Double bond geometry as shown.



RN   127167-43-5  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     11-[2-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)ethylidene]-6,11-dihydro-,
     (E)- (9CI)  (CA INDEX NAME)

Double bond geometry as shown.

AB   Novel non-prostanoid thromboxane A2 receptor antagonists I (R = R1 = Me;
     R
     = H, R1 = OMe) were synthesized stereoselectively using the
     transformation
     of (E,E)-1-azadiene intermediates II to only the E-isomers under acidic
     conditions.
IT   127165-74-6P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
        (Reactant or reagent)
        (preparation and ester hydrolysis of)

RN   127165-74-6  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     6,11-dihydro-11-[2-(6-methoxy-1H-benzimidazol-1-yl)ethylidene]-, methyl
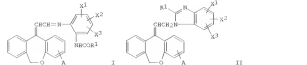     ester, (E)- (9CI)  (CA INDEX NAME)

Double bond geometry as shown.



IT   132382-49-1P
     RL: RPR (Synthetic preparation); PREP (Preparation)
         (stereoselective preparation of)
RN   132382-49-1  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     6,11-dihydro-11-[2-(6-methoxy-1H-benzimidazol-1-yl)ethylidene]-, methyl
     ester, (E)- (9CI)  (CA INDEX NAME)

CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     6,11-dihydro-11-[2-(6-methoxy-1H-benzimidazol-1-yl)ethylidene]-, methyl
     ester, (E)- (9CI)  (CA INDEX NAME)

Double bond geometry as shown.
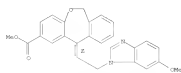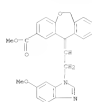
ACCESSION NUMBER:      1991:122085  CAPLUS
DOCUMENT NUMBER:       114:122085
ORIGINAL REFERENCE NO.: 114:207923o,20793a
TITLE:                 Preparation of dibenzoxepin derivatives as
                       intermediates for thromboxane A2 (TXA2) inhibitors
INVENTOR(S):           Sugaya, Toru; Kato, Nobuyuki; Tonioka, Shinji;
                       Yamaoki, Kentaro
SOURCE:                Kyowa Hakko Kogyo Co., Ltd., Japan
                       Jpn. Kokai Tokkyo Koho, 7 pp.
                       CODEN: JKXXAF
DOCUMENT TYPE:         Patent
LANGUAGE:              Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 02233676 | A | 1990O917 | JP 1989-53378 | 19890306 |
| JP 2877333 | B2 | 1999O331 | | |
| PRIORITY APPLN. INFO.: | | | JP 1989-53378 | 19890306 |

OTHER SOURCE(S):       MARPAT 114:122085
GI



AB   The title compds. I [A = (CH2)nCO2R2; R1, R2 = H, lower alkyl; X1 - X3 =
     H, OH, halo, lower alkyl or alkoxy; n = 0-4], are prepared as
     intermediates
     for TXA2 inhibitors dibenzoxepins II (A, R1, R2, X1 - X3, n = same as I
     ).
     Isomeric mixts. or E-isomers of I are converted to the corresponding
     E-isomers by acid treatment. Thus, Me
     11-methylidene-6,11-dihydrodibenz[b,e]oxepin-2-carboxylate was treated
     with POCl3 and PhNMeCHO to give 80% (E,Z)-Me
     11-formylmethylidene-6,11-dihydrodibenz[b,e]oxepin-2-carboxylate which
     was
     was refluxed 1 h with 2-formylamino-5-methoxyaniline in CH2Cl2 and
     treated
     with MeSO3H at 70° for 1 h gave 86.5% (E)-I [A = 2-CO2Me, R1 = X1 =
     X2 = H, X3 = 5-OMe] (III). Then, reduction of III with NaBH4 followed by
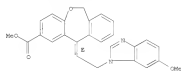     cyclization with HCO2H gave 71.4% (E)-II [A = 2-CO2Me, R1 = X1 = X2 = H,
     X7 = 6-OMe].
IT   127165-74-6P
     RL: RPR (Synthetic preparation); PREP (Preparation)
         (preparation of, as TXA2 inhibitor)
RN   127165-74-6  CAPLUS
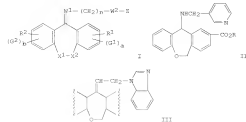
ACCESSION NUMBER:      1990:235301  CAPLUS
DOCUMENT NUMBER:       112:235301
ORIGINAL REFERENCE NO.: 112:376693a,39696a
TITLE:                 Preparation and formulation of heterocycle-containing
                       dibenzoxepin, dibenzooxycicheptene, and dibenzothiepin
                       derivatives as TXA2 antagonists
INVENTOR(S):           Oshima, Etsuo; Obase, Hiroyuki; Karasawa, Akira;
                       Kubo,
                       Kazuhiro; Miki, Ichiro; Ishii, Akio
PATENT ASSIGNEE(S):    Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE:                Eur. Pat. Appl., 169 pp.
                       CODEN: EPXXDW
DOCUMENT TYPE:         Patent
LANGUAGE:              English
FAMILY PAT. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 345747 | A2 | 19881213 | EP 1988-110272 | 19880607 |
| EP 345747 | A3 | 19900704 | | |
| EP 345747 | B1 | 19960626 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| US 4999363 | A | 19910312 | US 1989-368242 | 19890606 |
| CA 1338625 | C | 19960101 | CA 1989-601928 | 19890606 |
| AT 139776 | T | 19960715 | AT 1989-110272 | 19880607 |
| ES 2091190 | T3 | 19961101 | ES 1989-146070 | 19890607 |
| JP 02091040 | A | 19900330 | JP 1989-146049 | 19890608 |
| JP 07037416 | B | 19950426 | | |
| US 5118701 | A | 19920602 | US 1990-612446 | 19901114 |
| US 5242031 | A | 19930907 | US 1992-856296 | 19920323 |
| US 5302596 | A | 19940412 | US 1992-980617 | 19921123 |
| PRIORITY APPLN. INFO.: | | | JP 1989-368242 | A3 19890606 |
| | | | JP 1988-142374 | A  19880609 |
| | | | US 1989-368242 | A3 19890606 |
| | | | US 1990-612446 | A3 19901114 |
| | | | US 1992-856296 | A3 19920323 |

OTHER SOURCE(S):       MARPAT 112:235301
GI

AB The title compds. [I; X1-X2 = CH2O, CH2S, CH2CH2, CH=CH; G1, G2 = alkyl, halo, OH, alkoxy; a, b = 0-3; one of R1 and R2 = H, and the other = CO2R, alkoxycarbonyl, [un]substituted α-carbomaxylyl or 1-alkenyl; W1 = -S, -SO2, O, NH, alkylimino, N=CO, N, CH, CH2; n = 0-4; W2 = bond, S, NH, alkylimino; Z = (heterolaryl), having a TXA2 biosynthesis inhibiting activity and/or a TXA2 receptor antagonizing activity and useful for treatment of ischemic, cerebro-vascular, inflammatory, or allergic diseases, etc., are prepared Thus, chlorination of It 11-hydroxy-6,11-dihydrodibenz[b,c]asepin-2-carboxylate with SOCl2 in CH2Cl2 and amination of the resulting 11-chloro derivative with ?-(aminomethyl)pyridine in CHCl2 in the presence of N,N-dicyclohexylmethylamine gave a 6,11-dihydrodibenz[b,c]asepin derivative

[II; R = Me]. III (R = Me] (IV) at 3 mg/kg body weight in anesthetized rat

lowered thrombus formation on cotton thread kept in an extracorporeal circulation path for the left jugular vein from 22.4 mg (control) to 14.2 mg. IV in vitro antagonized 9,11-dideoxy-9a,11a-methaneepoxyprostaglandin F2a-induced guinea pig platelet aggregation with a min. effective concentration of 0.1 μg/mL.

IT  127165-77-5P  127165-74-6P  127165-35-9P
    127165-92-2P  127165-96-2P  127166-32-9P
    127166-34-1P  127166-49-8P  127166-50-1P
    127166-31-1P  127167-34-4P  127167-37-7P
    127167-40-2P  127167-43-5P
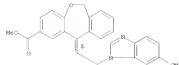
    EL: SFS [Synthetic preparation]; PREP [Preparation]
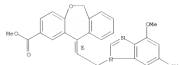    [preparation of, as thromboxane A2 antagonist]

RN  127165-73-5  CAPLUS
CN  Dibenz[b,e]oxepin-2-carboxylic acid,
    6,11-dihydro-11-[2-(4,6-dimethoxy-1H-benzimidazol-1-yl)ethylidene]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN  127165-74-6  CAPLUS
CN  Dibenz[b,e]oxepin-2-carboxylic acid,
    6,11-dihydro-11-[2-(6-methoxy-1H-benzimidazol-1-yl)ethylidene]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN  127165-97-9  CAPLUS
CN  Dibenz[b,e]oxepin-2-carboxylic acid,
    11-[2-(4,6-dimethoxy-1H-benzimidazol-1-yl)ethylidene]-6,11-dihydro-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

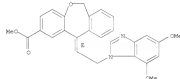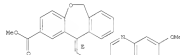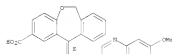RN  127165-94-0  CAPLUS
CN  Dibenz[b,e]oxepin-2-carboxylic acid,
    11-[2-(5,7-dimethoxy-1H-benzimidazol-1-yl)ethylidene]-6,11-dihydro-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN  127165-96-2  CAPLUS
CN  Dibenz[b,e]oxepin-2-carboxylic acid,
    11-[2-(5,6-dimethoxy-1H-benzimidazol-1-yl)ethylidene]-6,11-dihydro-, methyl ester, (E)- (9CI) (CA INDEX NAME)
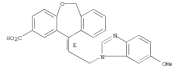
Double bond geometry as shown.

RN  127166-32-9  CAPLUS
CN  Dibenz[b,e]oxepin-2-carboxylic acid,
    6,11-dihydro-11-[2-(5-methoxy-1H-benzimidazol-1-yl)ethylidene]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN  127166-34-1  CAPLUS
CN  Dibenz[b,e]oxepin-2-carboxylic acid,
    6,11-dihydro-11-[2-(5-methoxy-1H-benzimidazol-1-yl)ethylidene]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

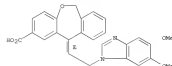RN  127166-49-8  CAPLUS
CN  Dibenz[b,e]oxepin-2-carboxylic acid,
    11-[2-(5,6-dimethoxy-1H-benzimidazol-1-yl)ethylidene]-6,11-dihydro-, (E)- (9CI) (CA INDEX NAME)
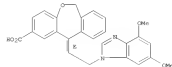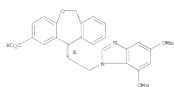
Double bond geometry as shown.

RN  127166-50-1  CAPLUS
CN  Dibenz[b,e]oxepin-2-carboxylic acid,
    11-[2-(4,6-dimethoxy-1H-benzimidazol-1-yl)ethylidene]-6,11-dihydro-, (E)- (9CI) (CA INDEX NAME)
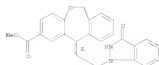
Double bond geometry as shown.

RN  127166-51-2  CAPLUS
CN  Dibenz[b,e]oxepin-2-carboxylic acid,
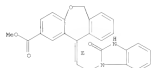    11-[2-(5,7-dimethoxy-1H-benzimidazol-1-yl)ethylidene]-6,11-dihydro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN   127167-34-4  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     11-[2-(2,3-dihydro-3-oxo-1H-indazol-1-yl)ethylidene]-6,11-dihydro-,
     methyl
     ester, (E)-  (9CI)  (CA INDEX NAME)

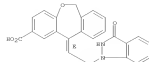Double bond geometry as shown.



RN   127167-37-7  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     11-[2-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)ethylidene]-6,11-dihydro-,
     methyl ester, (E)-  (9CI)  (CA INDEX NAME)
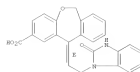
Double bond geometry as shown.



RN   127167-40-2  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     11-[2-(2,3-dihydro-3-oxo-1H-indazol-1-yl)ethylidene]-6,11-dihydro-, (E)-
     (9CI)  (CA INDEX NAME)

Double bond geometry as shown.

RN   127167-43-5  CAPLUS
CN   Dibenz[b,e]oxepin-2-carboxylic acid,
     11-[2-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)ethylidene]-6,11-dihydro-,
     (E)-  (9CI)  (CA INDEX NAME)

Double bond geometry as shown.

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=> log y
COST IN U.S. DOLLARS                           SINCE FILE      TOTAL
                                                    ENTRY    SESSION
FULL ESTIMATED COST                                 40.48     361.50

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)     SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                 -5.74      -5.74

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